

<p>2003-610705/58 A96 B04 (A25) NANO- 2001.07.06 NANOCARRIER KK *JP 2003012505-A 2001.07.06 2001-206135(+2001JP2006135) (2001.15) A61K 9/19, 9/107, 47/26, 47/30, 47/34, 47/36, A61P 31/04, 35/00, 43/00 // A61K 31/337, 31/407, 31/4745, 31/475, 31/5575, 31/7047, 31/7048 Composition for stabilizing pharmaceutical formulations, comprises medicine containing polymeric micelle and stabilizer chosen from saccharides and polyethylene glycol C2003-166719</p>	<p>A(5-H3, 12-V1) B(4-C2C, 4-C3C, 7-A2, 10-A7, 12-M11F) .5</p>
<p>NOVELTY A composition comprises medicine containing polymeric micelle (A) and a stabilizer (B) chosen from saccharides and polyethylene glycol. The micelle (A) comprises a block copolymer having repeating units of hydrophilic and hydrophobic polymer segments. In the micelle (A) the medicine is supported at the core portion and the hydrophilic polymer segment at shell portion.</p> <p>DETAILED DESCRIPTION An INDEPENDENT CLAIM is also included for formulation comprising freeze-dried medicine containing polymeric micelle, stabilizer and an aqueous medium for uniformly dispersing or solubilizing the micelle (A).</p>	<p>USE For preparing stable pharmaceutical formulations.</p> <p>ADVANTAGE The composition has excellent dispersibility and hence suppresses aggregation of micelle particle which enables to prepare freeze-dried medicine containing polymeric micelle lyophilized formulation.</p> <p>EXAMPLE To polyethylene glycol (molecular weight 12000) (500 mg) and hydrolyzed (50 %) polyaspartic acid benzyl ester, dichloromethane (50 ml) was added and nitrogen was sprayed to concentrate dichloromethane to 5 ml. Water (50 ml) was added, stirred for 30 minutes, to obtain a polymeric micelle. The polymeric micelle was ultrasonicated to which 40 mg/ml of maltose was added and freeze-dried in ice acetone freezing mixture, to obtain a lyophilized formulation. The particle size of the formulation measured before and after freeze drying was 94.3 nm and 118.5 nm, respectively. Since the</p> <p style="text-align: right;">JP 2003012505-A+</p>

average size change ratio before freeze drying was 1.26, the formulation had excellent re-dissolution property.

TECHNOLOGY FOCUS

Organic Chemistry - Preferred Stabilizer: The stabilizer is polyethylene glycol having molecular weight of 1000-3500 and saccharides chosen from maltose, trehalose, xylitol, glucose, sucrose, fructose, lactose, mannitol and/or dextrin.

Polymers - Preferred Polymer: The hydrophilic polymer segment is polyethylene glycol having 10-2500 oxyethylene repeat units.
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